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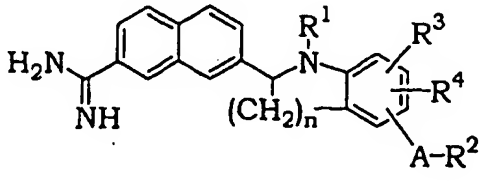
(54) Title: INDOLINE OR TETRAHYDROQUINOLINE DERIVATIVES

(54) 発明の名称: インドリン又はテトラヒドロキノリン誘導体



(I)

(57) Abstract: Indoline or tetrahydroquinoline derivatives represented by general formula (I) or pharmacologically acceptable salts thereof, which exhibit an excellent inhibitory activity against activated blood coagulation factor X and are useful as therapeutic or preventive drugs for blood coagulation diseases wherein R^1 is hydrogen, optionally substituted alkyl, optionally substituted alkanoyl, optionally substituted alkylsulfonyl, optionally substituted arylsulfonyl, or optionally substituted sulfamoyl; R^2 is optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted amino, or optionally substituted saturated cyclic amino; R^3 and R^4 are each hydrogen, halogeno, alkyl, alkoxy, cyano, nitro, hydroxyl, or alkanoyloxy; A is a single bond, alkylene, oxygen, or $-O(CH_2)_m-$ (wherein m is 1 to 4); and n is 1 or 2.

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ACCESSION NUMBER: 2001:31461 CAPLUS Full-text

TITLE: Preparation of indoline or tetrahydroquinoline derivatives as inhibitors of activated blood coagulation factor X

INVENTOR(S): Fujimoto, Koichi; Asai, Fumitoshi; Tanaka, Naoki; Matsuhashi, Hayao; Sugidachi, Atsuhiro; Tanimoto, Tatsuo

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

LANGUAGE: Japanese

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W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
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JP 2001072662	A2	20010321	JP 2000-197444	20000630

PRIORITY APPLN. INFO.: JP 1999-187805 A 19990701

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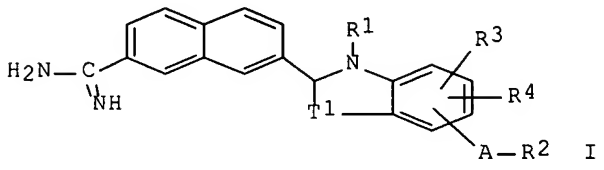

I

AB The title compds. I [R1 is hydrogen, optionally substituted alkyl, optionally substituted alkanoyl, optionally substituted alkylsulfonyl, optionally substituted arylsulfonyl, or optionally substituted sulfamoyl; R2 is optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted amino, or optionally substituted saturated cyclic amino; R3 and R4 are each hydrogen, halogeno, alkyl, alkoxy, cyano, nitro, hydroxyl, or alkanoyloxy; A is a single bond, alkylene, oxygen, or O(CH2)m (wherein m is 1 to 4); T1 = (CH2)n; and n is 1 or 2] are prepared 5-(1-Acetimidoylpiperidin-4-yloxy)-2-(7-amidinonaphthalen-2-yl)-1- methanesulfonylindoline dihydrochloride in vitro showed IC50 of 3.9 ng/mL against factor Xa. Formulations are given.